



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

47

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Applicant : Hans-Joachim Zeiler
Serial No. : 614.923
Filed : May 29, 1984
For : 7-Amino-1-cyclopropyl-4-oxo-1,4-dihydro-
quinoline- and naphthyridine-3-carboxylic
acids, processes for their preparation
and antibacterial agents containing these
compounds

GROUP 120

D E C L A R A T I O N

I, Hans-Joachim Zeiler hereby declare that all statements made herein of my own knowledge are true and that statements made on information and belief are believed to be true; and that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the above identified patent application or any patent issuing thereon:

1. I am a citizen of the Federal Republic of Germany residing Elsbeckerstraße 46, 5620 Velbert 15.

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- 1 -

2. I studied biology, especially microbiology from November 1966 to June 1974 at the University at Tübingen, Federal Republic of Germany.

3. I graduated from the University of Tübingen, Federal Republic of Germany in 1974 as a biologist and was awarded the degree of a doctorate of biology from that University.

4. In 1974 I joined Bayer AG, the assignee of the above-identified patent application as a member of the Institute of Chemotherapy in Wuppertal, Federal Republic of Germany.

5. The following tests have been conducted under my supervision.

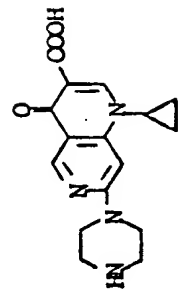
Test method

The minimum inhibitory concentrations (MIC) against bacteria were determined in an agar dilution test. For this purpose solutions of the compounds in various concentrations were mixed, together with the test strain, in liquid DST (dextrose sensitivity test) agar medium and poured into Petri dishes (diameter: 5 cm). The inoculum was $1 - 2 \times 10^3$ organisms. The MIC is defined as the lowest concentration of a preparation at which the formation of visible colonies was inhibited for a period of 24 hours.

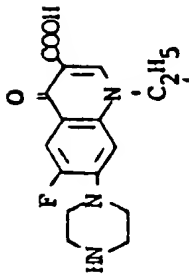
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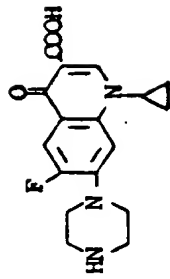
Table:



Example 2 of German
Patent Application
P 30 33 157.8 of
3.9.80



(disclosed in DE-OS
(German Published
Specification)
2,804,097)



(compound according to
the invention, of the
formula I (R = H))

Staphylococcus aureus 133	8	1	0.25 - 0.5
E. coli A 261	1	0.125	0.06
E. coli Neum.	1	0.25	0.06
Klebsiella 8085	1	0.25	0.06
Proteus 1017	0.5	0.06	0.03
Pseudomonas aeruginosa W	4	1	0.5

Agar dilution test

DST (dextrose sensitivity test) medium; 1-2 x 10³ germs/plate

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and that

6. the MIC values given in the table are, in the case of the bacteria strains tested, significantly lower for the compound according to the invention than for the comparative preparations and that this proves the superior activity of the compound according to the invention in the case of gram-positive and gram-negative pathogens.

Date:

12.8.85

Hans-Joachim Zeiler

Hans-Joachim Zeiler

EO

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